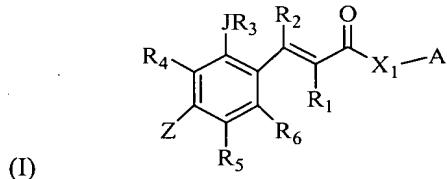


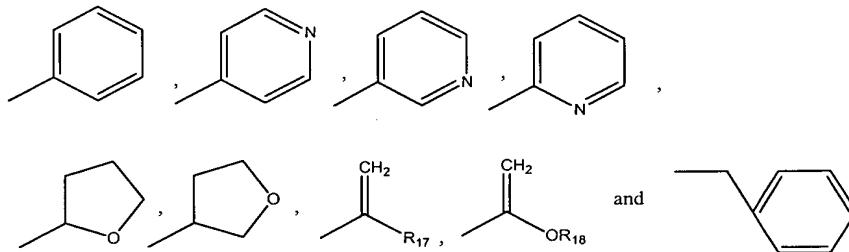
WE CLAIM:

1. A compound comprising the formula:



wherein:

5 R₁ and R₂ are individually selected from the group consisting of H, CH₃, C₂-C₁₀ alkyls, C₂-C₁₀ alkenyls or C₂-C₁₀ alkynyls, each of which can be substituted or unsubstituted; straight or branched, C₂-C₁₀ heteroalkyls, C₂-C₁₀ heteroalkenyls or C₂-C₁₀ heteroalkynyls and -(CR₁₅R₁₆)_p-D;
10 wherein: R₁₅ and R₁₆ are individually selected from the group consisting of H, CH₃, C₂-C₁₀ alkyls, C₂-C₁₀ alkenyls or C₂-C₁₀ alkynyls, each of which can be substituted or unsubstituted; straight or branched; and C₂-C₁₀ heteroalkyls, C₂-C₁₀ heteroalkenyls or C₂-C₁₀ heteroalkynyls;
15 p is a positive integer from 1 to about 12;
D is selected from among -SH, -OH, X₂, -CN, -OR₁₉, NHR₂₀,



wherein:

R_{17} is H, CH_3 or X_3 ;

R_{18} is H, a C_{1-4} alkyl or benzyl;

R₁₉ is H, a C₁₋₄ alkyl, X₂ or benzyl;

20 R₂₀ is H, a C₁₋₁₀ alkyl or -C(O)R₂₁,

wherein R₂₁ is H, a C₁₋₄ alkyl or alkoxy, t-butoxy or benzyloxy;

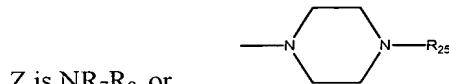
X_2 and X_3 are independently selected halogens;

R_3 is H, CH_3 , or $-C(=O)(CR_{15}R_{16})_w-D$,

25 where w is 0 or an integer from 1 to about 12, and D is H or as described for R_1 and R_2 .

J is O, NH or S;

R₄, R₅, and R₆ are independently selected from the group consisting of H, CH₃, C₂-C₁₀ alkyls, C₂-C₁₀ alkenyls or C₂-C₁₀ alkynyls, each of which can be substituted or unsubstituted; straight or branched; C₂-C₁₀ heteroalkyls, 5 heteroalkenyls or heteroalkynyls and halogens;



Z is NR₇R₈ or

wherein R₇ is selected from among H, CH₃, C₂-C₁₀ alkyls, alkenyls or alkynyls which can be substituted or unsubstituted; straight or branched; C₂-C₁₀ heteroalkyls, heteroalkenyls or heteroalkynyls, or -(CR₂₃R₂₄)_q-aryl, or R₈,

10 wherein R₂₃ and R₂₄ are independently selected from the group consisting of H and C₁-C₁₀ alkyls;

q is an integer from 1 to about 6;

R₈ is selected from the group consisting of (CR₉R₁₀)_n-NR₂₂-R₁₁, (CR₉R₁₀)_n-CH₂-NHC(O)R₂₆ and (CR₉R₁₀)_n-CH₂-E;

15 wherein R₉ and R₁₀ are independently selected from the group consisting of H, CH₃, C₂-C₁₀ alkyls, C₂-C₁₀ alkenyls or C₂-C₁₀ alkynyls, each of which can be substituted or unsubstituted; straight or branched; C₂-C₁₀ heteroalkyls, C₂-C₁₀ heteroalkenyls or C₂-C₁₀ heteroalkynyls and halogens;

R₂₆ is H, CH₃, O-t-butyl, O-benzyl;

20 E is OH, SH or O-C(O)R₂₇,

wherein R₂₇ is a C₁-C₆ alkyl, benzyl or phenyl;

R₂₂ is H or CH₃;

n is a positive integer from 1 to about 10;

R₁₁ is H or -L-B,

25 wherein L is a linker; and

B is a first active moiety, reactive group moiety or a polymer;

R₂₅ is H, -C(O)-R₂₈ or -C(O)-O-R₂₉,

wherein R₂₈ is a C₁-C₆ alkyl or benzyl; and R₂₉ is CH₃, t-butyl or benzyl;

X₁ is O, NH, or S; and

30 A is H or a second active moiety.

2. The compound of claim 1, wherein Z is NR₇R₈.

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3. The compound of claim 2, wherein R₈ is -CH₂-CH₂-NH₂.

4. The compound of claim 2, wherein R₈ is (CR₉R₁₀)_n-NR₂₂-R₁₁.

5 5. The compound of claim 1, wherein L-B comprises a maleimidyl or an N-hydroxysuccinimidyl group.

6. The compound of claim 4, wherein R₁₁ comprises a polyalkylene oxide residue.

10 7. The compound of claim 6, wherein said polyalkylene oxide residue is a polyethylene glycol.

15 8. The compound of claim 7, wherein said polyethylene glycol has a number average molecular weight of from about 2,000 to about 200,000 daltons.

19 9. The compound of claim 4, wherein R₁₁ comprises a member of the group consisting of collagen, glycosaminoglycan, poly(-aspartic acid), poly(-L-lysine), poly(-lactic acid), poly-N-vinylpyrrolidone and copolymers of poly(-lactic acid) and poly(-glycolic acid).

20 10. The compound of claim 1, wherein R₁, R₂, R₃, R₄, R₅, and R₆ are independently selected from the group consisting of H, CH₃ and CH₃CH₂.

25 11. The compound of claim 4, wherein R₇ is CH₃CH₂; R₈ is -(CR₉R₁₀)_n-NR₂₂-R₁₁; and R₉ and R₁₀ are H; n is 2; and X₁ is O, S or NH.

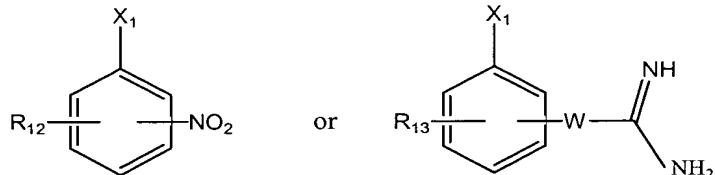
12. The compound of claim 4, wherein R₇ is CH₃CH₂; R₈ is -(CR₉R₁₀)_n-NR₂₂-R₁₁ and R₉ and R₁₀ are H.

30 13. The compound of claim 1, wherein said second active moiety comprises a member of the group consisting of X₁A₁ or X₁A₂ wherein X₁A₁ is a substrate or substrate analog selected from the group consisting of amino acids, amino acid derivatives, peptides, peptide derivatives and substrates or substrate

analog for serine proteases, cysteine proteases, esterases, lipases, or other enzymes containing an active site serine or cysteine; and

X_1A_2 is an enzyme.

5 14. The compound of claim 13, wherein X_1A_1 is a moiety of the formula



wherein R_{12} and R_{13} are independently H or electron donating or electron withdrawing groups and W is CH or N.

10 15. The compound of claim 13, wherein A_2 is an enzyme selected from the group consisting of serine proteases, cysteine proteases, esterases, lipases and enzymes containing an active-site serine or cysteine.

16. The compound of claim 14, wherein J is O, R_2 is H, R_7 is CH_3CH_2 ; R_8 is
15 $-(CR_9R_{10})_n-NR_{22}-R_{11}$, R_9 and R_{10} are H, and n is 2.

17. The compound of claim 15, wherein X_1A_2 is an enzyme having an active-site serine or cysteine.

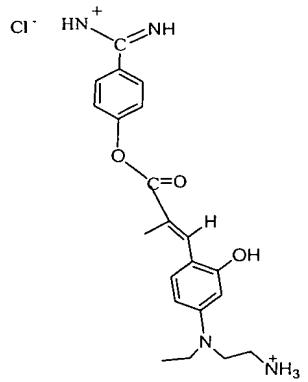
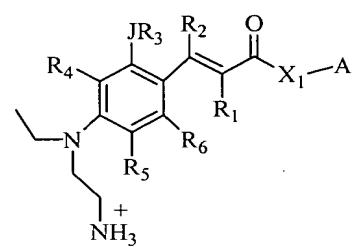
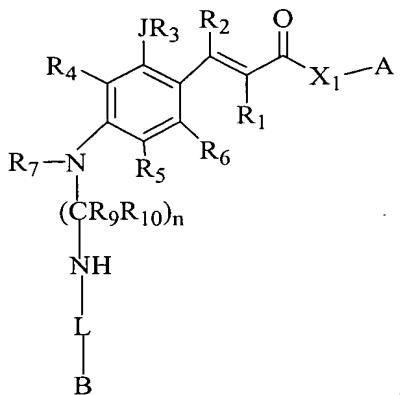
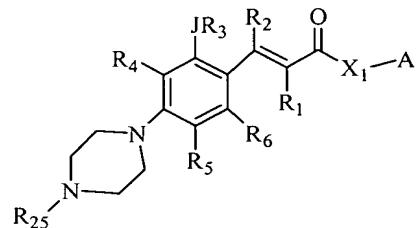
20 18. The compound of claim 11, wherein X_1A_2 is a blood coagulation factor.

19. The compound of claim 11, wherein the enzyme is selected from the group consisting of plasmins, urokinases, and tissue plasminogen activators.

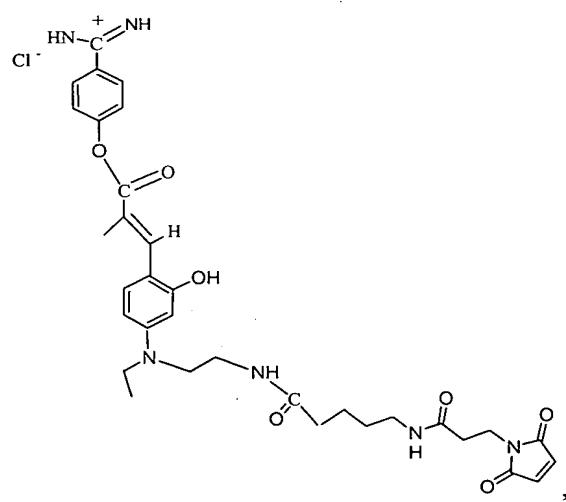
25 20. The compound of claim 13, wherein X_1A_1 is an amino acid, peptide, or substrate or substrate analog capable of interacting with an enzyme.

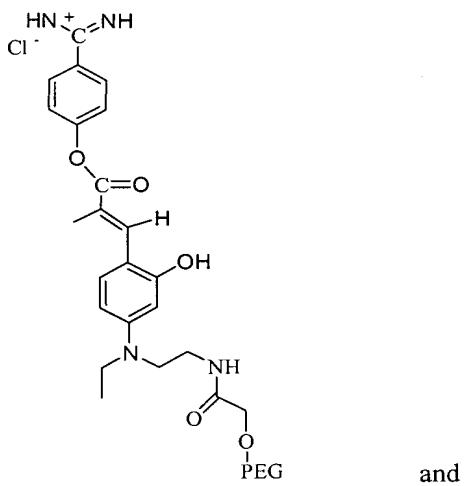
21. The compound of claim 20, wherein said amino acid is selected from the group consisting of isoleucine, phenylalanine, tyrosine, lysine, arginine, aspartate, glutamate,
30 glutamine and asparagine.

22. A compound of claim 1 selected from the group consisting of:

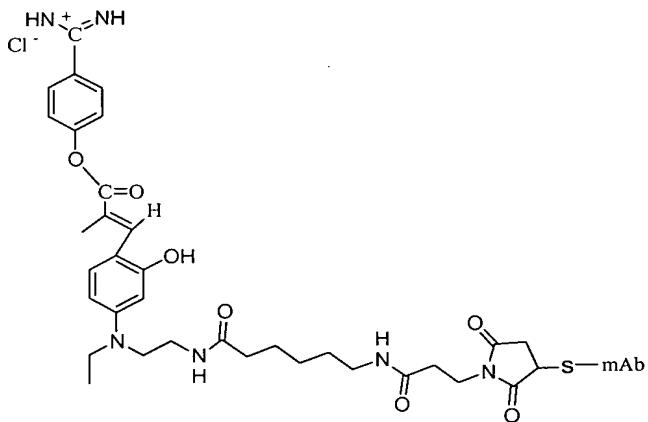


5





and



wherein

PEG is a polyethylene glycol having a molecular weight of from about 2,000 to about
5 200,000; and
mAb is a monoclonal antibody.

23. The compound of claim 22, wherein said monoclonal antibody is trastuzumab.

10 24. The compound of claim 1, wherein L-B comprises a maleimidyl or an
N-hydroxysuccinimidyl group.

25. A pharmaceutically acceptable salt of the compound of claim 1.

26. A method of treatment, comprising:
administering to a mammal in need of such treatment an effective amount of a compound of claim 1, where B is a first active moiety.

5 27. The method of claim 26, further comprising exposing the compound of claim 1 to an energy source after administration to said mammal.

28. The method of claim 27, wherein the energy source is white light having a wavelength in the range from 340 to 700 nm.

10 29. The method of claim 27, wherein the energy source is white light having a wavelength in the range from 350- 420 nm.

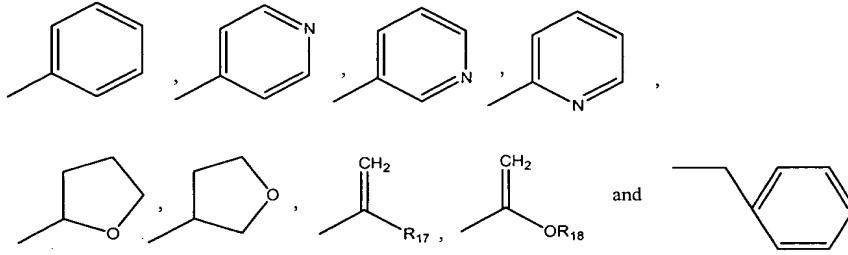
15 30. The method of claim 27, wherein the energy source is selected from the group consisting of microwave, ultrasound, radio energy, gamma radiation, radioactivity, ultraviolet light and infrared light.

31. A method of preparing a conjugate, comprising:
reacting a compound of Formula (IV)

20 (IV)

wherein:
R₁ and R₂ are individually selected from the group consisting of H, CH₃, C₂-C₁₀ alkyls, C₂-C₁₀ alkenyls or C₂-C₁₀ alkynyls, each of which can be substituted or unsubstituted; straight or branched, C₂-C₁₀ heteroalkyls, C₂-C₁₀ heteroalkenyls or 25 C₂-C₁₀ heteroalkynyls and -(CR₁₅R₁₆)_p-D
wherein: R₁₅ and R₁₆ are individually selected from the group consisting of H, CH₃, C₂-C₁₀ alkyls, C₂-C₁₀ alkenyls or C₂-C₁₀ alkynyls, each of which can be substituted or unsubstituted; straight or branched; and C₂-C₁₀ heteroalkyls, C₂-C₁₀ heteroalkenyls or C₂-C₁₀ heteroalkynyls;

p is a positive integer from 1 to about 12;
 D is selected from among -SH, -OH, X₂, -CN, -OR₁₉, NHR₂₀,



5 R₁₇ is H, a CH₃ or X₃;
 R₁₈ is H, a C₁₋₄ alkyl or benzyl;
 R₁₉ is H, a C₁₋₄ alkyl, X₂ or benzyl;
 R₂₀ is H, a C₁₋₁₀ alkyl or -C(O)R₂₁
 wherein R₂₁ is H, a C₁₋₄ alkyl or alkoxy, t-butoxy or
 10 benzoyloxy;
 X₂ and X₃ are independently selected halogens;

R₃ is H, CH₃, or -C(=O)(CR₁₅R₁₆)_w-D,
 where w is 0 or an integer from 1 to about 12, and D is H or as described for R₁ and R₂.
 J is O, NH or S;

15 R₄, R₅ and R₆ independently selected from the group consisting of H, CH₃,
 C₂-C₁₀ alkyls, C₂-C₁₀ alkenyls or C₂-C₁₀ alkynyls, each of which can be substituted or
 unsubstituted; straight or branched; C₂-C₁₀ heteroalkyls, heteroalkenyls or heteroalkynyls
 and halogens;

R₇ is selected from among H, CH₃ and C₂-C₁₀ alkyls;

20 X₁ is O, NH, or S; and
 A is H or a second active moiety;

with a compound of the Formula (V):



25 wherein L₁ is a moiety containing a functional group capable of reacting with the
 NHR₂₂ of Formula (IV);
 and B₁ is selected from the group consisting of polymers, biologically active
 materials and polymeric supports.